

P. . ENT COOPERATION TREATY

PCT

INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference RLL-480WO	FOR FURTHER ACTION see Form PCT/ISA/220 as well as, where applicable, item 5 below.	
International application No. PCT/IB2004/004281	International filing date (day/month/year) 23/12/2004	(Earliest) Priority Date (day/month/year) 30/12/2003
Applicant RANBAXY LABORATORIES LIMITED		

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 6 sheets.



It is also accompanied by a copy of each prior art document cited in this report.

1. **Basis of the report**

- a. With regard to the **language**, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.



The international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).



- b. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, see Box No. I.

2. ☐ **Certain claims were found unsearchable** (See Box II).

3. ☒ **Unity of invention is lacking** (see Box III).

4. With regard to the **title**,



the text is approved as submitted by the applicant.



the text has been established by this Authority to read as follows:

PROCESS FOR ASYMMETRIC SYNTHESIS OF HYDROXY-ALKYL SUBSTITUTED AZETIDINONE
DERIVATIVES

5. With regard to the **abstract**,



the text is approved as submitted by the applicant.



the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box No. IV. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

6. With regard to the **drawings**,

- a. the figure of the **drawings** to be published with the abstract is Figure No. _____



as suggested by the applicant.



as selected by this Authority, because the applicant failed to suggest a figure.



as selected by this Authority, because this figure better characterizes the invention.

- b. ☐ none of the figures is to be published with the abstract.

INTERNATIONAL SEARCH REPORT

Internal Application No
PCT/IB2004/004281A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07D205/08

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, BEILSTEIN Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y X	US 5 856 473 A (SHANKAR ET AL) 5 January 1999 (1999-01-05) cited in the application column 4, lines 24-29 column 2; compound I ----- -/--	1-5, 7, 13 14-17

☒ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

* Special categories of cited documents:

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *&* document member of the same patent family

Date of the actual completion of the international search

13 July 2005

Date of mailing of the international search report

04.08.05

Name and mailing address of the ISA

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y X	<p>FU X ET AL: "Process for preparing Ezetimibe intermediate by an acid enhanced chemo- and enantioselective CBS catalyzed ketone reduction" TETRAHEDRON LETTERS, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, vol. 44, no. 4, 20 January 2003 (2003-01-20), pages 801-804, XP004405139 ISSN: 0040-4039 cited in the application scheme 1 page 801; compound SCH 58235</p>	1-5,7,13 14-17
Y X	<p>WU G ET AL: "A NOVEL ONE-STEP DIASTEREO- AND ENANTIOSELECTIVE FORMATION OF TRANS-AZETIDINONES AND ITS APPLICATION TO THE TOTAL SYNTHESIS OF CHOLESTEROL ABSORPTION INHIBITORS" JOURNAL OF ORGANIC CHEMISTRY, AMERICAN CHEMICAL SOCIETY, EASTON, US, vol. 64, 1999, pages 3714-3718, XP002296009 ISSN: 0022-3263 cited in the application scheme 2 compound 1, SCH 58235</p>	1-5,7,13 14-17
Y X	<p>RAMACHANDRAN ET AL.: "Selective reductions" JOURNAL OF ORGANIC CHEMISTRY, vol. 67, 2002, pages 5315-5319, XP002327477 cited in the application page 5318, eq. (10) scheme 2, last step</p>	1-5,7,13 6
A	<p>CHEN ET AL.: "Asymmetric Synthesis of Substituted 2-Azaspiro[3.5]nonan-1-ones: An Enantioselective Synthesis of the Cholesterol Absorption Inhibitor (+)-SCH 54016" JOURNAL OF ORGANIC CHEMISTRY, vol. 61, 1996, pages 8341-8343, XP002335913 scheme 2 on page 8342</p>	8-12
E	<p>WO 2005/049592 A (HETERO DRUGS LIMITED; PARTHASARADHI REDDY, BANDI; RATHNAKAR REDDY, KUR) 2 June 2005 (2005-06-02) scheme A on page 2</p>	8-12

INTERNATIONAL SEARCH REPORT

ational application No.
PCT/IB2004/004281

Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:

3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. ☒ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.

2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:

4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☒ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-5, 7, 13

Claims 1-5, 7 and 13 relate to a process for the preparation of compounds of formula I starting from compound II.

1.1. claims: 14-17

Claims 14-17 are "product by process" claims directed to Ezetimide.

2. claims: 6, 8-12

Claims 6, 8-12 relate to a process for the preparation of Ezetimibe using compound I as starting material

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Information on patent family members

International Application No

PCT/IB2004/004281

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
US 5856473	A	05-01-1999	AU 7472896 A WO 9716424 A1	22-05-1997 09-05-1997
WO 2005049592	A	02-06-2005	WO 2005049592 A1	02-06-2005